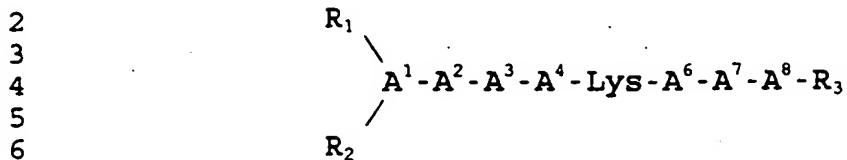


Claims

1. A compound of the formula:



7 wherein

8 A¹ is a D- or L-isomer of an aromatic amino acid, or is
9 deleted;

10 A² is a D-isomer selected from the group consisting of
11 of Cys, Pen, an aromatic amino acid, or an aliphatic amino
12 acid;

13 A³ is an aromatic amino acid;

14 A⁴ is Trp or D-Trp;

15 A⁶ is Thr, Thr(Bzl), Gly, Ser, an Eaa, or an aliphatic
16 amino acid;

17 A' is Cys, Pen, or an aromatic or an aliphatic amino
18 acid;

19 A⁸ is a D- or L-isomer selected from the group
20 consisting of Thr, Ser, an aromatic amino acid, or an
21 aliphatic amino acid;

each of R₁ and R₂, is, independently, H or substituted or unsubstituted lower alkyl, aryl, aryl lower alkyl, heterocycle, heterocycle lower alkyl, E₁SO₂ or E₁CO (where E₁ is aryl, aryl lower alkyl, heterocycle, or heterocycle lower alkyl), where said substituent is halo, lower alkyl, hydroxy, halo lower alkyl, or hydroxy lower alkyl; and

28 R₃ is OH, NH₂, C₁₋₁₂ alkoxy, or NH-Y-CH₂-Z, wherein Y is
 29 a C₁₋₁₂ hydrocarbon moiety and Z is H, OH, CO₂H, or CONH₂, or R₃,
 30 together with the carbonyl group of A⁸ attached thereto, are
 31 reduced to form H, lower alkyl, or hydroxy lower alkyl;
 32 provided if A² is D-Cys or D-Pen, and A⁷ is Cys or Pen, then a
 33 disulfide bond links the sidechains of A² and A⁷, and if A¹ is

34 D-Phe or p-NO₂-Phe; A² is D-Cys; A³ is Phe or Tyr; A⁶ is Thr or
35 Val; and A⁷ is Cys; then A⁸ is β-Nal.

1 2. A compound of claim 1, wherein A² is D-Cys, A⁷ is
2 Cys, and A⁴ is D-Trp.

1 3. A compound of claim 2, wherein A¹ is an L-
2 aromatic amino acid.

1 4. A compound of claim 3, wherein A¹ and A³,
2 independently, is β-Nal, o-X-Phe (where X is H, OH, CH₃, halo,
3 OCH₃, NH₂, CN, or NO₂), p-X-Phe (where X is H, OH, CH₃, halo,
4 OCH₃, NH₂, CN, or NO₂), m-X-Phe (where X is H, OH, CH₃, halo,
5 OCH₃, NH₂, CN, or NO₂), F₅-Phe, Trp, Dip, 2-Pal, Tyr(Bzl), His,
6 Igl, Tyr(I), Bta, Bip, Npa, or Pal; A⁶ is Thr, Ser, Tle,
7 Thr(Bzl), Abu, Ala, Ile, Leu, Gly, Nle, β-Ala, Gaba, or Val;
8 and A⁸ is the D- or L-isomer of Thr, Dip, F₅-Phe, p-X-Phe
9 (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), o-X-Phe
10 (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), m-X-Phe
11 (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), Igl,
12 Tyr(Bzl), or β-Nal.

1 5. A compound of claim 4, wherein A¹ is β-Nal, Npa,
2 Igl, Phe, p-F-Phe, Trp, p-Cl-Phe, or p-CN-Phe; A³ is Tyr,
3 Tyr(I), or Pal; A⁶ is Val, Tle, Nle, Ile, or Leu; A⁸ is p-F-
4 Phe, β-Nal, Tyr, Dip, p-Cl-Phe, Igl, or p-CN-Phe; R₁ is H,
5 CH₃CO, 4-(2-hydroxyethyl)-1-piperazinylacetyl, or 4-(2-
6 hydroxyethyl)-1-piperazineethanesulfonyl; R₂ is H; and R₃ is
7 NH₂.

1 6. A compound of claim 5, wherein A³ is Pal.

2 7. A compound of claim 4 of the formula:

3 H₂-β-Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
4 (H) (CH₃CO)-β-Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-β-Nal-NH₂
5 (V);

6 (H) - (4 - (2-hydroxyethyl) - 1-piperazinylacetyl) - β-Nal-D-
7 Cys-Tyr-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
8 (H) - (4 - (2-hydroxyethyl) - 1-piperazineethanesulfonyl) - β-
9 Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
10 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
11 (H) (CH₃CO) - β-Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
12 (H) - (4 - (2-hydroxyethyl) - 1-piperazinylacetyl) - β-Nal-D-
13 Cys-Pal-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
14 (H) - (4 - (2-hydroxyethyl) - 1-piperazineethanesulfonyl) - β-
15 Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
16 H₂-β-Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-Thr-NH₂;
17 (H) (CH₃CO) - β-Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-Thr-NH₂;
18 (H) (4 - (2-hydroxyethyl) - 1-piperazinylacetyl) - β-Nal-D-
19 Cys-Tyr-D-Trp-Lys-Val-Cys-Thr-NH₂;
20 (H) (4 - (2-hydroxyethyl) - 1-piperazineethanesulfonyl) - β-
21 Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-Thr-NH₂;
22 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys-Thr-NH₂;
23 (H) (CH₃CO) - β-Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys-Thr-NH₂;
24 (H) (4 - (2-hydroxyethyl) - 1-piperazinylacetyl) - β-Nal-D-
25 Cys-Pal-D-Trp-Lys-Val-Cys-Thr-NH₂;
26 (H) (4 - (2-hydroxyethyl) - 1-piperazineethanesulfonyl) - β-
27 Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys-Thr-NH₂;
28 H₂-Phe-D-Cys-Tyr-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
29 (H) (CH₃CO) Phe-D-Cys-Tyr-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
30 (H) (4 - (2-hydroxyethyl) - 1-piperazinylacetyl) - Phe-D-Cys-
31 Tyr-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
32 (H) (4 - (2-hydroxyethyl) - 1-piperazineethanesulfonyl) - Phe-
33 D-Cys-Tyr-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
34 H₂-Phe-D-Cys-Pal-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
35 (H) (CH₃CO) Phe-D-Cys-Pal-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
36 (H) (4 - (2-hydroxyethyl) - 1-piperazinylacetyl) - Phe-D-Cys-
37 Pal-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
38 (H) (4 - (2-hydroxyethyl) - 1-piperazineethanesulfonyl) - Phe-
39 D-Cys-Pal-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
40 H₂-Phe-D-Cys-Pal-D-Trp-Lys-Val-Cys-Thr-NH₂;

41 (H) (CH₃CO)-Phe-D-Cys-Pal-D-Trp-Lys-Val-Cys-Thr-NH₂;
42 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-Phe-D-Cys-
43 Pal-D-Trp-Lys-Val-Cys-Thr-NH₂;
44 (H) (4-(2-hydroxyethyl)-1-piperazineethanesulfonyl)-Phe-
45 D-Cys-Pal-D-Trp-Lys-Val-Cys-Thr-NH₂;
46 H₂-β-Nal-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
47 (H) (CH₃CO)-β-Nal-D-Cys-Pal-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
48 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-β-Nal-D-
49 Cys-Tyr-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
50 (H) (4-(2-hydroxyethyl)-1-piperazineethanesulfonyl)-β-
51 Nal-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
52 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
53 (H) (CH₃CO)-β-Nal-D-Cys-Pal-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
54 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-β-Nal-D-
55 Cys-Pal-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
56 (H) (4-(2-hydroxyethyl)-1-piperazineethanesulfonyl)-β-
57 Nal-D-Cys-Pal-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
58 H₂-β-Nal-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-Thr-NH₂;
59 H(CH₃CO)-β-Nal-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-Thr-NH₂;
60 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-β-Nal-D-
61 Cys-Tyr-D-Trp-Lys-Thr-Cys-Thr-NH₂;
62 (H) (4-(2-hydroxyethyl)-1-piperazineethanesulfonyl)-β-
63 Nal-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-Thr-NH₂;
64 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Thr-Cys-Thr-NH₂;
65 (H) (CH₃CO)-β-Nal-D-Cys-Pal-D-Trp-Lys-Thr-Cys-Thr-NH₂;
66 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-β-Nal-D-
67 Cys-Pal-D-Trp-Lys-Thr-Cys-Thr-NH₂;
68 (H) (4-(2-hydroxyethyl)-1-piperazineethanesulfonyl)-β-
69 Nal-D-Cys-Pal-D-Trp-Lys-Thr-Cys-Thr-NH₂;
70 H₂-Phe-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
71 (H) (CH₃CO) Phe-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
72 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl) Phe-D-Cys-
73 Tyr-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
74 (H) (4-(2-hydroxyethyl)-1-piperazineethanesulfonyl) Phe-
75 D-Cys-Tyr-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;

76 H₂-Phe-D-Cys-Pal-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
77 (H) (CH₃CO) Phe-D-Cys-Pal-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
78 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl) Phe-D-Cys-
79 Pal-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
80 (H) (4-(2-hydroxyethyl)-1-piperazineethanesulfonyl) Phe-
81 D-Cys-Pal-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
82 H₂-Phe-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-Thr-NH₂;
83 (H) (CH₃CO) Phe-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-Thr-NH₂;
84 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl) Phe-D-Cys-
85 Tyr-D-Trp-Lys-Thr-Cys-Thr-NH₂;
86 (H) (4-(2-hydroxyethyl)-1-piperazineethanesulfonyl) Phe-
87 D-Cys-Tyr-D-Trp-Lys-Thr-Cys-Thr-NH₂;
88 H₂-Phe-D-Cys-Pal-D-Trp-Lys-Thr-Cys-Thr-NH₂;
89 (H) (CH₃CO) -Phe-D-Cys-Pal-D-Trp-Lys-Thr-Cys-Thr-NH₂;
90 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl) Phe-D-Cys-
91 Pal-D-Trp-Lys-Thr-Cys-Thr-NH₂;
92 (H) (4-(2-hydroxyethyl)-1-piperazineethanesulfonyl) Phe-
93 D-Cys-Pal-D-Trp-Lys-Thr-Cys-Thr-NH₂;
94 H₂-β-Nal-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-β-Nal-NH₂;
95 H₂-Phe-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-β-Nal-NH₂;
96 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Abu-Cys-β-Nal-NH₂;
97 H₂-Phe-D-Cys-Pal-D-Trp-Lys-Abu-Cys-β-Nal-NH₂;
98 H₂-β-Nal-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-Thr-NH₂;
99 H₂-Phe-D-Pen-Tyr-D-Trp-Lys-Val-Pen-β-Nal-NH₂; or
100 H₂-Phe-D-Pen-Pal-D-Trp-Lys-Thr-Pen-Thr-NH₂;
101 H₂-Dip-D-Cys-Pal-D-Trp-Lys-Val-Cys-Dip-NH₂;
102 H₂-F₅-Phe-D-Cys-His-D-Trp-Lys-Val-Cys-F₅-Phe-NH₂;
103 H₂-Dip-D-Cys-Pal-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
104 H₂-m-F-Phe-D-Cys-Pal-D-Trp-Lys-Val-Cys-m-F-Phe-NH₂;
105 H₂-o-F-Phe-D-Cys-Pal-D-Trp-Lys-Val-Cys-o-F-Phe-NH₂;
106 H₂-p-F-Phe-D-Cys-Pal-D-Trp-Lys-Val-Cys-p-F-Phe-NH₂;
107 H₂-F₅-Phe-D-Cys-Pal-D-Trp-Lys-Val-Cys-F₅-Phe-NH₂;
108 H₂-F₅-Phe-D-Cys-2-Pal-D-Trp-Lys-Val-Cys-F₅-Phe-NH₂;
109 H₂-β-Nal-D-Cys-His-D-Trp-Lys-Val-Cys-D-Dip-NH₂;
110 H₂-Dip-D-Cys-His-D-Trp-Lys-Val-Cys-β-Nal-NH₂;

111 H₂-Dip-D-Cys-His-D-Trp-Lys-Val-Cys-Dip-NH₂;
112 H₂-β-Nal-D-Cys-His-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
113 H₂-Trp-D-Cys-Tyr-D-Trp-Lys-Val-Cys-D-β-Nal-NH₂;
114 H₂-β-Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-D-β-Nal-NH₂;
115 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys-D-p-F-Phe-NH₂;
116 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Tle-Cys-β-Nal-NH₂;
117 H₂-p-F-Phe-D-Cys-Pal-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
118 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Nle-Cys-β-Nal-NH₂;
119 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Ile-Cys-β-Nal-NH₂;
120 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Gly-Cys-β-Nal-NH₂;
121 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Ala-Cys-β-Nal-NH₂;
122 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Leu-Cys-β-Nal-NH₂;
123 H₂-Bip-D-Cys-Tyr-D-Trp-Lys-Ile-Cys-Bip-NH₂;
124 H₂-p-F-Phe-D-Cys-His-D-Trp-Lys-Val-Cys-p-F-Phe-NH₂;
125 H₂-Npa-D-Cys-Pal-D-Trp-Lys-Val-Cys-Tyr-NH₂;
126 H₂-m-F-Phe-D-Cys-His-D-Trp-Lys-Val-Cys-m-F-Phe-NH₂;
127 H₂-o-F-Phe-D-Cys-His-D-Trp-Lys-Val-Cys-o-F-Phe-NH₂;
128 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys-Dip-NH₂;
129 H₂-Cpa-D-Cys-Pal-D-Trp-Lys-Val-Cys-Cpa-NH₂;
130 H₂-Igl-D-Cys-Pal-D-Trp-Lys-Val-Cys-Igl-NH₂;
131 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys-D-Dip-NH₂;
132 H₂-β-Nal-D-Cys-3-I-Tyr-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
133 H₂-p-CN-Phe-D-Cys-Pal-D-Trp-Lys-Val-Cys-p-CN-Phe-NH₂;
134 H₂-β-Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-D-Dip-NH₂;
135 H₂-β-Nal-D-Cys-Bta-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
136 H₂-p-F-Phe-D-Cys-Pal-D-Trp-Lys-Tle-Cys-β-Nal-NH₂;
137 H₂-Bpa-D-Cys-Pal-D-Trp-Lys-Val-Cys-Bpa-NH₂;
138 H₂-Iph-D-Cys-Pal-D-Trp-Lys-Val-Cys-Iph-NH₂;
139 H₂-Trp-D-Cys-Pal-D-Trp-Lys-Tle-Cys-β-Nal-NH₂;
140 H₂-p-Cl-Phe-D-Cys-Pal-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
141 H₂-p-Cl-Phe-D-Cys-Pal-D-Trp-Lys-Tle-Cys-β-Nal-NH₂;
142 H₂-p-Cl-Phe-D-Cys-Pal-D-Trp-Lys-Tle-Cys-p-Cl-Phe-NH₂;
143 H₂-p-Cl-Phe-D-Cys-Pal-D-Trp-Lys-Cha-Cys-p-Cl-Phe-NH₂;
144 H₂-p-Cl-Phe-D-Cys-Tyr(I)-D-Trp-Lys-Val-Cys-p-Cl-Phe-
145 NH₂;

146 H₂-p-Cl-Phe-D-Cys-Tyr(I)-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
147 H₂-p-Cl-Phe-D-Cys-Tyr(I)-D-Trp-Lys-Tle-Cys-β-Nal-NH₂;
148 H₂-p-F-Phe-D-Cys-Tyr(I)-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
149 H₂-p-F-Phe-D-Cys-Tyr(I)-D-Trp-Lys-Tle-Cys-β-Nal-NH₂;
150 H₂-β-Nal-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-β-Nal-NH₂;
151 (H) (CH₃CO)-β-Nal-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-β-Nal-NH₂;
152 H₂-p-NO₂-Phe-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-β-Nal-NH₂;
153 (H) (CH₃CO)-β-Nal-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-β-Nal-NH₂;
154 H₂-p-NO₂-Phe-D-Cys-Tyr(Bzl)-D-Trp-Lys-Thr(Bzl)-Cys-β-
155 Nal-NH₂;
156 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-p-NO₂-Phe-
157 D-Cys-Tyr(Bzl)-D-Trp-Lys-Thr(Bzl)-Cys-β-Nal-NH₂;
158 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-p-NO₂-Phe-
159 D-Cys-Tyr-D-Trp-Lys-Thr-Cys-Tyr-NH₂;
160 H₂-p-NO₂-Phe-D-Cys-Tyr-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
161 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-p-NO₂-Phe-
162 D-Cys-Tyr-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
163 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-β-Nal-Phe-
164 D-Cys-Tyr-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
165 H₂-β-Nal-D-Cys-Tyr(Bzl)-D-Trp-Lys-Thr(Bzl)-Cys-β-Nal-
166 NH₂; or
167 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-β-Nal-D-
168 Cys-Tyr(Bzl)-D-Trp-Lys-Thr(Bzl)-Cys-Tyr(Bzl)-NH₂; or
169 a pharmaceutically acceptable salt thereof.

1 8. A compound of claim 2, wherein A¹ is a D-aromatic
2 amino acid.

1 9. A compound of claim 8, wherein A¹ is D-β-Nal, D-
2 o-X-Phe (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), D-
3 p-X-Phe (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), D-
4 m-X-Phe (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), D-
5 F₅-Phe, D-Trp, D-Dip, D-2-Pal, D-Tyr(Bzl), D-His, D-Igl, D-
6 Tyr(I), D-Bta, D-Bip, D-Npa, or D-Pal; A³ is β-Nal, o-X-Phe
7 (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), p-X-Phe

8 (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), m-X-Phe
9 (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), F₅-Phe,
10 Trp, Dip, 2-Pal, Tyr(Bzl), His, Igl, Tyr(I), Bta, Bip, Npa, or
11 Pal; A⁶ is Thr, Ser, Tle, Thr(Bzl), Abu, Ala, Ile, Leu, Gly,
12 Nle, β-Ala, Gaba, or Val; and A⁸ is the D- or L-isomer of Thr,
13 Dip, F₅-Phe, p-X-Phe (where X is H, OH, CH₃, halo, OCH₃, NH₂,
14 CN, or NO₂), o-X-Phe (where X is H, OH, CH₃, halo, OCH₃, NH₂,
15 CN, or NO₂), m-X-Phe (where X is H, OH, CH₃, halo, OCH₃, NH₂,
16 CN, or NO₂), Igl, Tyr(Bzl), or β-Nal.

1 10. A compound of claim 9, wherein A¹ is D-β-Nal, D-
2 Npa, D-Igl, D-Phe, D-p-F-Phe, D-Trp, D-p-Cl-Phe, or D-p-
3 CN-Phe; A³ is Tyr, Tyr(I), or Pal; A⁶ is Val, Tle, Nle, Ile, or
4 Leu; A⁸ is p-F-Phe, β-Nal, Tyr, Dip, p-Cl-Phe, Igl, or p-CN-
5 Phe; R₁ is H, CH₃CO, 4-(2-hydroxyethyl)-1-piperazinylacetyl, or
6 4-(2-hydroxyethyl)-1-piperazineethanesulfonyl; R₂ is H; and R₃
7 is NH₂.

1 11. A compound of claim 10, wherein A³ is Pal.

1 12. A compound of claim 8, of the formula:
2 H₂-D-Phe-D-Pen-Tyr-D-Trp-Lys-Val-Cys-Thr-NH₂;
3 H₂-D-β-Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-Thr-NH₂;
4 H₂-D-β-Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
5 H₂-D-β-Nal-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-β-Nal-NH₂;
6 H₂-D-Phe-D-Cys-Pal-D-Trp-Lys-Thr-Cys-Thr-NH₂;
7 H₂-D-Phe-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-Thr-NH₂;
8 H₂-D-β-Nal-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-Thr-NH₂;
9 H₂-D-β-Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-D-β-Nal-NH₂;
10 H₂-D-p-F-Phe-D-Cys-Pal-D-Trp-Lys-Val-Cys-D-p-F-Phe-NH₂;
11 H₂-D-Bip-D-Cys-Tyr-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
12 H₂-D-Dip-D-Cys-Pal-D-Trp-Lys-Val-Cys-β-Nal-NH₂;
13 H₂-D-p-F-Phe-D-Cys-Pal-D-Trp-Lys-Tle-Cys-β-Nal-NH₂;
14 H₂-D-p-Cl-Phe-D-Cys-Pal-D-Trp-Lys-Tle-Cys-p-Cl-Phe-NH₂;

15 p-NO₂-D-Phe-D-Cys-Pal-D-Trp-Lys-Thr(Bzl)-Cys-Tyr(Bzl)-
16 NH₂;
17 p-NO₂-D-Phe-D-Cys-Tyr(Bzl)-D-Trp-Lys-Val-Cys-Tyr(Bzl)-
18 NH₂;
19 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-p-NO₂-D-
20 Phe-D-Cys-Pal-D-Trp-Lys-Thr(Bzl)-Cys-Tyr(Bzl)-NH₂; or
21 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-p-NO₂-D-
22 Phe-D-Cys-Tyr(Bzl)-D-Trp-Lys-Val-Cys-Tyr(Bzl)-NH₂; or
23 a pharmaceutically acceptable salt thereof.

24 13. A compound of claim 2, wherein A¹ is deleted, R¹
25 is substituted or unsubstituted E₁CO, and R₂ is H.

1 14. A compound of claim 13, wherein R₁ is substituted
2 or unsubstituted E₁CO (where E₁ is phenyl, β-naphthylmethyl, β-
3 pyridinylmethyl, or 3-indolylmethyl); A³ is β-Nal, o-X-Phe
4 (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), p-X-Phe
5 (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), m-X-Phe
6 (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), F₅-Phe,
7 Trp, Dip, 2-Pal, Tyr(Bzl), His, Igl, Tyr(I), Bta, Bip, Npa, or
8 Pal; A⁶ is Thr, Ser, Tle, Thr(Bzl), Abu, Ala, Ile, Leu, Gly,
9 Nle, β-Ala, Gaba, or Val; and A⁸ is the D- or L-isomer of Thr,
10 Dip, F₅-Phe, p-X-Phe (where X is H, OH, CH₃, halo, OCH₃, NH₂,
11 CN, or NO₂), o-X-Phe (where X is H, OH, CH₃, halo, OCH₃, NH₂,
12 CN, or NO₂), m-X-Phe (where X is H, OH, CH₃, halo, OCH₃, NH₂,
13 CN, or NO₂), Igl, Tyr(Bzl), or β-Nal.

1 15. A compound of claim 14, wherein R₁ is E₁CO (where
2 E₁ is 4-hydroxy-phenyl, β-naphthylmethyl, or phenyl); A³ is
3 Tyr, Tyr(I), or Pal; A⁶ is Val, Tle, Nle, Ile, or Leu; A⁸ is p-
4 F-Phe, β-Nal, Tyr, Dip, p-Cl-Phe, Igl, or p-CN-Phe; R₃ is NH₂.

1 16. A compound of claim 15, wherein A³ is Pal.

1 17. A compound of claim 14, of the formula

2 (H) (3-phenylpropionyl)-D-Cys-Tyr-D-Trp-Lys-Val-Cys-β-
3 Nal-NH₂;
4 (H) (3-phenylpropionyl)-D-Cys-Pal-D-Trp-Lys-Val-Cys-β-
5 Nal-NH₂;
6 (H) (3-phenylpropionyl)-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-β-
7 Nal-NH₂;
8 (H) (3-phenylpropionyl)-D-Cys-Pal-D-Trp-Lys-Thr-Cys-β-
9 Nal-NH₂;
10 (H) (3-phenylpropionyl)-D-Cys-Tyr-D-Trp-Lys-Val-Cys-Thr-
11 NH₂;
12 (H) (3-phenylpropionyl)-D-Cys-Pal-D-Trp-Lys-Val-Cys-Thr-
13 NH₂;
14 (H) (3-phenylpropionyl)-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-Thr-
15 NH₂;
16 (H) (3-phenylpropionyl)-D-Cys-Pal-D-Trp-Lys-Thr-Cys-Thr-
17 NH₂;
18 (H) (3-[2-naphthyl]propionyl)-D-Cys-Tyr-D-Trp-Lys-Val-
19 Cys-β-Nal-NH₂;
20 (H) (3-[2-naphthyl]propionyl)-D-Cys-Pal-D-Trp-Lys-Val-
21 Cys-β-Nal-NH₂;
22 (H) (3-[2-naphthyl]propionyl)-D-Cys-Tyr-D-Trp-Lys-Thr-
23 Cys-β-Nal-NH₂;
24 (H) (3-[2-naphthyl]propionyl)-D-Cys-Pal-D-Trp-Lys-Thr-
25 Cys-β-Nal-NH₂;
26 (H) (3-[2-naphthyl]propionyl)-D-Cys-Tyr-D-Trp-Lys-Val-
27 Cys-Thr-NH₂;
28 (H) (3-[2-naphthyl]propionyl)-D-Cys-Pal-D-Trp-Lys-Val-
29 Cys-Thr-NH₂;
30 (H) (3-[2-naphthyl]propionyl)-D-Cys-Tyr-D-Trp-Lys-Thr-
31 Cys-Thr-NH₂;
32 (H) (3-[2-naphthyl]propionyl)-D-Cys-Pal-D-Trp-Lys-Thr-
33 Cys-Thr-NH₂;
34 (H) (3-[p-hydroxyphenyl])-D-Cys-Tyr-D-Trp-Lys-Val-Cys-β-
35 Nal-NH₂;

36 (H) (3-naphthylpropionyl)-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-
37 β-Nal-NH₂;
38 (H) (3-naphthylpropionyl)-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-
39 Thr-NH₂;
40 (H) (3-phenylpropionyl)-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-β-
41 Nal-NH₂; or
42 (H) (3-phenylpropionyl)-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-
43 Thr-NH₂; or
44 a pharmaceutically acceptable salt thereof.

1 18. A compound of claim 2, wherein R₃, together with
2 the carbonyl group of A⁸ attached thereto, are reduced to form
3 H, lower alkyl, or hydroxy lower alkyl.

1 19. A compound of claim 18, wherein A¹ is the D- or L-
2 isomer of β-Nal, o-X-Phe (where X is H, OH, CH₃, halo, OCH₃,
3 NH₂, CN, or NO₂), p-X-Phe (where X is H, OH, CH₃, halo, OCH₃,
4 NH₂, CN, or NO₂), m-X-Phe (where X is H, OH, CH₃, halo, OCH₃,
5 NH₂, CN, or NO₂), F₅-Phe, Trp, Dip, 2-Pal, Tyr(Bzl), His, Igl,
6 Tyr(I), Bta, Bip, Npa, or Pal; A³ is β-Nal, o-X-Phe (where X
7 is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), p-X-Phe (where X is
8 H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), m-X-Phe (where X is H,
9 OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), F₅-Phe, Trp, Dip, 2-Pal,
10 Tyr(Bzl), His, Igl, Tyr(I), Bta, Bip, Npa, or Pal; A⁶ is Thr,
11 Ser, Tle, Thr(Bzl), Abu, Ala, Ile, Leu, Gly, Nle, β-Ala, Gaba,
12 or Val; and A⁸ is the D- or L-isomer of Thr, Dip, F₅-Phe, p-X-
13 Phe (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), o-X-
14 Phe (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), m-X-
15 Phe (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), Igl,
16 Tyr(Bzl), or β-Nal.

1 20. A compound of claim 19, wherein A¹ is the D- or
2 L-isomer of β-Nal, Phe, p-F-Phe, Trp, p-Cl-Phe, or p-CN-Phe;
3 A³ is Tyr, Tyr(I), or Pal; A⁶ is Val, Tle, Nle, Ile, or Leu; A⁸
4 is p-F-Phe, β-Nal, Tyr, Dip, p-Cl-Phe, Igl, or p-CN-Phe; R₁ is

5 H, CH₃CO, 4-(2-hydroxyethyl)-1-piperazinylacetyl, or 4-(2-
6 hydroxyethyl)-1-piperizineethanesulfonyl; R₂ is H, and R₃,
7 together with the carboxy group of A⁸ attached thereto, are
8 reduced to form H or CH₃OH.

1 21. A compound of claim 20, wherein A³ is Pal.

1 22. A compound of claim 19, of the formula:

2 H₂-β-Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-2R,3R-(2-
3 hydroxymethyl)-3-hydroxy)propylamide;
4 (H) (CH₃CO)-β-Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-2R,3R-(2-
5 hydroxymethyl)-3-hydroxy)propylamide;
6 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-β-Nal-D-
7 Cys-Tyr-D-Trp-Lys-Val-Cys-2R,3R-(2-hydroxymethyl)-3-
8 hydroxy)propylamide;

9 (H) (4-(2-hydroxyethyl)-1-piperizineethanesulfonyl)-β-
10 Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-2R,3R-(2-hydroxymethyl)-3-
11 hydroxy)propylamide;

12 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys-2R,3R-(2-
13 hydroxymethyl)-3-hydroxy)propylamide;

14 (H) (CH₃CO)-β-Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys-2R,3R-(2-
15 hydroxymethyl)-3-hydroxy)propylamide;

16 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-β-Nal-D-
17 Cys-Pal-D-Trp-Lys-Val-Cys-2R,3R-(2-hydroxymethyl)-3-
18 hydroxy)propylamide;

19 (H) (4-(2-hydroxyethyl)-1-piperizineethanesulfonyl)-β-
20 Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys-2R,3R-(2-hydroxymethyl)-3-
21 hydroxy)propylamide;

22 H₂-β-Nal-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-2R,3R-(2-
23 hydroxymethyl)-3-hydroxy)propylamide;

24 (H) (CH₃CO)-β-Nal-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-2R,3R-(2-
25 hydroxymethyl)-3-hydroxy)propylamide;

26 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-β-Nal-D-
27 Cys-Tyr-D-Trp-Lys-Thr-Cys-2R,3R-(2-hydroxymethyl)-3-
28 hydroxy)propylamide;

29 (H) (4- (2-hydroxyethyl)-1-piperizineethanesulfonyl)- β -
30 Nal-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-2R,3R-(2-hydroxymethyl)-3-
31 hydroxy) propylamide;
32 H₂- β -Nal-D-Cys-Pal-D-Trp-Lys-Thr-Cys-2R,3R-(2-
33 hydroxymethyl)-3-hydroxy) propylamide;
34 (H) (CH₃CO)- β -Nal-D-Cys-Pal-D-Trp-Lys-Thr-Cys-2R,3R-(2-
35 hydroxymethyl)-3-hydroxy) propylamide;
36 (H) (4- (2-hydroxyethyl)-1-piperazinylacetyl)- β -Nal-D-
37 Cys-Pal-D-Trp-Lys-Thr-Cys-2R,3R-(2-hydroxymethyl)-3-
38 hydroxy) propylamide;
39 (H) (4- (2-hydroxyethyl)-1-piperizineethanesulfonyl)- β -
40 Nal-D-Cys-Pal-D-Trp-Lys-Thr-Cys-2R,3R-(2-hydroxymethyl)-3-
41 hydroxy) propylamide;
42 H₂-Phe-D-Cys-Tyr-D-Trp-Lys-Val-Cys-2R,3R-(2-
43 hydroxymethyl)-3-hydroxy) propylamide;
44 (H) (CH₃CO) Phe-D-Cys-Tyr-D-Trp-Lys-Val-Cys-2R,3R-(2-
45 hydroxymethyl)-3-hydroxy) propylamide;
46 (H) (4- (2-hydroxyethyl)-1-piperazinylacetyl) Phe-D-Cys-
47 Tyr-D-Trp-Lys-Val-Cys-2R,3R-(2-hydroxymethyl)-3-
48 hydroxy) propylamide;
49 (H) (4- (2-hydroxyethyl)-1-piperizineethanesulfonyl) Phe-
50 D-Cys-Tyr-D-Trp-Lys-Val-Cys-2R,3R-(2-hydroxymethyl)-3-
51 hydroxy) propylamide;
52 H₂-Phe-D-Cys-Pal-D-Trp-Lys-Val-Cys-2R,3R-(2-
53 hydroxymethyl)-3-hydroxy) propylamide;
54 H(CH₃CO) Phe-D-Cys-Pal-D-Trp-Lys-Val-Cys-2R,3R-(2-
55 hydroxymethyl)-3-hydroxy) propylamide;
56 (H) (4- (2-hydroxyethyl)-1-piperazinylacetyl) Phe-D-Cys-
57 Pal-D-Trp-Lys-Val-Cys-2R,3R-(2-hydroxymethyl)-3-
58 hydroxy) propylamide;
59 (H) (4- (2-hydroxyethyl)-1-piperizineethanesulfonyl) Phe-
60 D-Cys-Pal-D-Trp-Lys-Val-Cys-2R,3R-(2-hydroxymethyl)-3-
61 hydroxy) propylamide;
62 H₂-Phe-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-2R,3R-(2-
63 hydroxymethyl)-3-hydroxy) propylamide;

64 (H) (CH_3CO) Phe-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-2R,3R-(2-
65 hydroxymethyl)-3-hydroxy) propylamide;
66 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl) Phe-D-Cys-
67 Tyr-D-Trp-Lys-Thr-Cys-2R,3R-(2-hydroxymethyl)-3-
68 hydroxy) propylamide;
69 (H) (4-(2-hydroxyethyl)-1-piperazineethanesulfonyl) Phe-
70 D-Cys-Tyr-D-Trp-Lys-Thr-Cys-2R,3R-(2-hydroxymethyl)-3-
71 hydroxy) propylamide;
72 H₂-Phe-D-Cys-Pal-D-Trp-Lys-Thr-Cys-2R,3R-(2-
73 hydroxymethyl)-3-hydroxy) propylamide;
74 (H) (CH_3CO) Phe-D-Cys-Pal-D-Trp-Lys-Thr-Cys-2R,3R-(2-
75 hydroxymethyl)-3-hydroxy) propylamide;
76 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl) Phe-D-Cys-
77 Pal-D-Trp-Lys-Thr-Cys-2R,3R-(2-hydroxymethyl)-3-
78 hydroxy) propylamide;
79 (H) (4-(2-hydroxyethyl)-1-piperazineethanesulfonyl) Phe-
80 D-Cys-Pal-D-Trp-Lys-Thr-Cys-2R,3R-(2-hydroxymethyl)-3-
81 hydroxy) propylamide;
82 H₂- β -Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-2R-(2-
83 naphthyl) ethylamide;
84 (H) (CH_3CO)- β -Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-2R-(2-
85 naphthyl) ethylamide;
86 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)- β -Nal-D-
87 Cys-Tyr-D-Trp-Lys-Val-Cys-2R-(2-naphthyl) ethylamide;
88 (H) (4-(2-hydroxyethyl)-1-piperazineethanesulfonyl)- β -
89 Nal-D-Cys-Tyr-D-Trp-Lys-Val-Cys-2R-(2-naphthyl) ethylamide;
90 H₂- β -Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys-2R-(2-
91 naphthyl) ethylamide;
92 (H) (CH_3CO)- β -Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys-2R-(2-
93 naphthyl) ethylamide;
94 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)- β -Nal-D-
95 Cys-Pal-D-Trp-Lys-Val-Cys-2R-(2-naphthyl) ethylamide;
96 (H) (4-(2-hydroxyethyl)-1-piperazineethanesulfonyl)- β -
97 Nal-D-Cys-Pal-D-Trp-Lys-Val-Cys-2R-(2-naphthyl) ethylamide;

98 H₂-β-Nal-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-2R-(2-naphthyl)
99 ethylamide;
100 (H) (CH₃CO)-β-Nal-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-2R-(2-
101 naphthyl)ethylamide;
102 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-β-Nal-D-
103 Cys-Tyr-D-Trp-Lys-Thr-Cys-2R-(2-naphthyl)ethylamide;
104 (H) (4-(2-hydroxyethyl)-1-piperazineethanesulfonyl)-β-
105 Nal-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-2R-(2-naphthyl)ethylamide;
106 H₂-β-Nal-D-Cys-Pal-D-Trp-Lys-Thr-Cys-2R-(2-
107 naphthyl)ethylamide;
108 (H) (CH₃CO)-β-Nal-D-Cys-Pal-D-Trp-Lys-Thr-Cys-2R-(2-
109 naphthyl)ethylamide;
110 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-β-Nal-D-
111 Cys-Pal-D-Trp-Lys-Thr-Cys-2R-(2-naphthyl)ethylamide;
112 (H) (4-(2-hydroxyethyl)-1-piperazineethanesulfonyl)-β-
113 Nal-D-Cys-Pal-D-Trp-Lys-Thr-Cys-2R-(2-naphthyl)ethylamide;
114 H₂-Phe-D-Cys-Tyr-D-Trp-Lys-Val-Cys-2R-(2-
115 naphthyl)ethylamide;
116 (H) (CH₃CO)Phe-D-Cys-Tyr-D-Trp-Lys-Val-Cys-2R-(2-
117 naphthyl)ethylamide;
118 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)Phe-D-Cys-
119 Tyr-D-Trp-Lys-Val-Cys-2R-(2-naphthyl)ethylamide;
120 (H) (4-(2-hydroxyethyl)-1-piperazineethanesulfonyl) Phe-
121 D-Cys-Tyr-D-Trp-Lys-Val-Cys-2R-(2-naphthyl)ethylamide;
122 H₂-Phe-D-Cys-Pal-D-Trp-Lys-Val-Cys-2R-(2-naphthyl)
123 ethylamide;
124 (H) (CH₃CO)Phe-Cys-Pal-D-Trp-Lys-Val-Cys-2R-(2-
125 naphthyl)ethylamide;
126 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)Phe-D-Cys-
127 Pal-D-Trp-Lys-Val-Cys-2R-(2-naphthyl)ethylamide;
128 (H) (4-(2-hydroxyethyl)-1-piperazineethanesulfonyl) Phe-
129 D-Cys-Pal-D-Trp-Lys-Val-Cys-2R-(2-naphthyl)ethylamide;
130 H₂-Phe-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-2R-(2-naphthyl)
131 ethylamide;

132 (H) (CH₃CO) Phe-D-Cys-Tyr-D-Trp-Lys-Thr-Cys-2R- (2-
133 naphthyl)ethylamide;
134 (H) (4- (2-hydroxyethyl)-1-piperazinylacetyl) Phe-D-Cys-
135 Tyr-D-Trp-Lys-Thr-Cys-2R- (2-naphthyl)ethylamide;
136 (H) (4- (2-hydroxyethyl)-1-piperazineethanesulfonyl) Phe-
137 D-Cys-Tyr-D-Trp-Lys-Thr-Cys-2R- (2-naphthyl)ethylamide;
138 H₂-Phe-D-Cys-Pal-D-Trp-Lys-Thr-Cys-2R- (2-
139 naphthyl)ethylamide;
140 (H) (CH₃CO) Phe-D-Cys-Pal-D-Trp-Lys-Thr-Cys-2R- (2-
141 naphthyl)ethylamide;
142 (H) (4- (2-hydroxyethyl)-1-piperazinylacetyl) Phe-D-Cys-
143 Pal-D-Trp-Lys-Thr-Cys-2R- (2-naphthyl)ethylamide;
144 (H) (4- (2-hydroxyethyl)-1-piperazineethanesulfonyl) Phe-
145 D-Cys-Pal-D-Trp-Lys-Thr-Cys-2R- (2-naphthyl)ethylamide;
146 H₂-β-Nal-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-2R- (2-
147 naphthyl)ethylamide;
148 H₂-Phe-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-2R- (2-
149 naphthyl)ethylamide;
150 H₂-β-Nal-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-2R, 3R- (2-
151 hydroxymethyl)-3-hydroxy)propylamide; or
152 H₂-Phe-D-Cys-Tyr-D-Trp-Lys-Abu-Cys-2R, 3R- (2-
153 hydroxymethyl)-3-hydroxy)propylamide; or
154 a pharmaceutically acceptable salt thereof.

1 23. A compound of claim 1, wherein A² is a D-aromatic
2 amino acid or a D-aliphatic amino acid, A⁷ is an aromatic
3 amino acid or an aliphatic amino acid, and A⁴ is D-Trp.

1 24. A compound of claim 23, wherein A¹ is an L- amino
2 acid and A² is a D-aromatic amino acid.

1 25. A compound of claim 24, wherein A¹, A³, and A⁷
2 independently, is β-Nal, o-X-Phe (where X is H, OH, CH₃, halo,
3 OCH₃, NH₂, CN, or NO₂), p-X-Phe (where X is H, OH, CH₃, halo,
4 OCH₃, NH₂, CN, or NO₂), m-X-Phe (where X is H, OH, CH₃, halo,

5 OCH₃, NH₂, CN, or NO₂), F₅-Phe, Trp, Dip, 2-Pal, Tyr(Bzl), His,
6 Igl, Tyr(I), Bta, Bip, Npa, or Pal; A² is D-β-Nal, D- α -X-Phe
7 (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), D-p-X-Phe
8 (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), D-m-X-Phe
9 (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), D-F₅-Phe,
10 D-Trp, D-Dip, D-2-Pal, D-Tyr(Bzl), D-His, D-Igl, D-Tyr(I), D-
11 Bta, D-Bip, D-Npa, or D-Pal; A⁶ is Thr, Ser, Tle, Thr(Bzl),
12 Abu, Ala, Ile, Leu, Gly, Nle, β-Ala, Gaba, or Val; and A⁸ is
13 the D- or L-isomer of Thr, Dip, F₅-Phe, p-X-Phe (where X is H,
14 OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), α -X-Phe (where X is H,
15 OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), m-X-Phe (where X is H,
16 OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), Igl, Tyr(Bzl), or β-Nal.

1 26. A compound of claim 25, wherein A¹ is β-Nal or
2 Phe, A² is D-Cpa or D-Phe; A³ is Phe or Tyr; A⁶ is Abu, Thr, or
3 Val; A⁷ is Phe; and A⁸ is Thr; R₁ is H, CH₃CO, 4-(2-
4 hydroxyethyl)-1-piperazinylacetyl, or 4-(2-hydroxyethyl)-1-
5 piperazineethanesulfonyl; R₂ is H; and R₃ is NH₂.

1 27. A compound of claim 25 of the formula:
2 H₂-Phe-D-Phe-Tyr-D-Trp-Lys-Thr-Phe-Thr-NH₂;
3 H₂-Phe-D-Phe-Tyr-D-Trp-Lys-Val-Phe-Thr-NH₂;
4 H₂-Phe-D-Cpa-Tyr-D-Trp-Lys-Val-Phe-Thr-NH₂;
5 H₂-β-Nal-D-Cpa-Tyr-D-Trp-Lys-Val-Phe-Thr-NH₂;
6 (H) (CH₃CO)-β-Nal-D-Cpa-Tyr-D-Trp-Lys-Val-Phe-Thr-NH₂;
7 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-β-Nal-D-
8 Cpa-Tyr-D-Trp-Lys-Val-Phe-Thr-NH₂;
9 (H) (4-(2-hydroxyethyl)-1-piperazineethanesulfonyl)-β-
10 Nal-D-Cpa-Tyr-D-Trp-Lys-Val-Phe-Thr-NH₂;
11 H₂-β-Nal-D-Cpa-Pal-D-Trp-Lys-Val-Phe-Thr-NH₂;
12 (H) (CH₃CO)-β-Nal-D-Cpa-Pal-D-Trp-Lys-Val-Phe-Thr-NH₂;
13 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-β-Nal-D-
14 Cpa-Pal-D-Trp-Lys-Val-Phe-Thr-NH₂;
15 (H) (4-(2-hydroxyethyl)-1-piperazineethanesulfonyl)-β-
16 Nal-D-Cpa-Pal-D-Trp-Lys-Val-Phe-Thr-NH₂;
17 H₂-β-Nal-D-Cpa-Tyr-D-Trp-Lys-Thr-Phe-Thr-NH₂;
18 (H) (CH₃CO)-β-Nal-D-Cpa-Tyr-D-Trp-Lys-Thr-Phe-Thr-NH₂;
19 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-β-Nal-D-
20 Cpa-Tyr-D-Trp-Lys-Thr-Phe-Thr-NH₂;
21 (H) (4-(2-hydroxyethyl)-1-piperazineethanesulfonyl)-β-
22 Nal-D-Cpa-Tyr-D-Trp-Lys-Thr-Phe-Thr-NH₂;
23 H₂-β-Nal-D-Cpa-Pal-D-Trp-Lys-Thr-Phe-Thr-NH₂;
24 (H) (CH₃CO)-β-Nal-D-Cpa-Pal-D-Trp-Lys-Thr-Phe-Thr-NH₂;
25 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-β-Nal-D-
26 Cpa-Pal-D-Trp-Lys-Thr-Phe-Thr-NH₂;
27 (H) (4-(2-hydroxyethyl)-1-piperazineethanesulfonyl)-β-
28 Nal-D-Cpa-Pal-D-Trp-Lys-Thr-Phe-Thr-NH₂;
29 H₂-β-Nal-D-Cpa-Tyr-D-Trp-Lys-Val-Phe-β-Nal-NH₂;
30 (H) (CH₃CO)-β-Nal-D-Cpa-Tyr-D-Trp-Lys-Val-Phe-β-Nal-NH₂;
31 (H) (4-(2-hydroxyethyl)-1-piperazinylacetyl)-β-Nal-D-
32 Cpa-Tyr-D-Trp-Lys-Val-Phe-β-Nal-NH₂; or
33 (H) (4-(2-hydroxyethyl)-1-piperazineethanesulfonyl)-β-
34 Nal-D-Cpa-Tyr-D-Trp-Lys-Val-Phe-β-Nal-NH₂;
35 H₂-β-Nal-D-Cpa-Tyr-D-Trp-Lys-Val-Phe-β-Nal-NH₂; or

35 H₂-β-Nal-D-Cpa-Tyr-D-Trp-Lys-Val-Phe-Thr-NH₂; or
37 a pharmaceutically acceptable salt thereof.

1 28. A compound of claim 23, wherein A¹ is a D-amino
2 acid and A² is a D-aromatic amino acid.

1 29. A compound of claim 28, wherein A¹ and A²,
2 independently, is D-β-Nal, D- α -X-Phe (where X is H, OH, CH₃,
3 halo, OCH₃, NH₂, CN, or NO₂), D-p-X-Phe (where X is H, OH, CH₃,
4 halo, OCH₃, NH₂, CN, or NO₂), D-m-X-Phe (where X is H, OH, CH₃,
5 halo, OCH₃, NH₂, CN, or NO₂), D-F₅-Phe, D-Trp, D-Dip, D-2-Pal,
6 D-Tyr(Bzl), D-His, D-Igl, D-Tyr(I), D-Bta, D-Bip, D-Npa, or D-
7 Pal; A³ and A⁷, independently, is β-Nal, α -X-Phe (where X is H,
8 OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), p-X-Phe (where X is H,
9 OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), m-X-Phe (where X is H,
10 OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), F₅-Phe, Trp, Dip, 2-Pal,
11 His, Igl, Tyr(I), Bta, Bip, Npa, Tyr(Bzl), or Pal; A⁶ is Thr,
12 Ser, Tle, Thr(Bzl), Abu, Ala, Ile, Leu, Gly, Nle, β-Ala, Gaba,
13 or Val; and A⁸ is the D- or L-isomer of Thr, Dip, F₅-Phe, p-X-
14 Phe (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), α -X-
15 Phe (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), m-X-
16 Phe (where X is H, OH, CH₃, halo, OCH₃, NH₂, CN, or NO₂), Igl,
17 Tyr(Bzl), or β-Nal.

1 30. A compound of claim 29, wherein A¹ is D-β-Nal or
2 D-Phe; A² is D-Cpa or D-Phe; A³ is Phe or Tyr; A⁶ is Thr or
3 Val; A⁷ is Phe; and A⁸ is Thr; R₁ is H, CH₃CO, 4-(2-
4 hydroxyethyl)-1-piperazinylacetyl, or 4-(2-hydroxyethyl)-1-
5 piperazineethanesulfonyl; R₂ is H; and R₃ is NH₂.

1 31. A compound of claim 29 of the formula:
2 H₂-D-β-Nal-D-Cpa-Phe-D-Trp-Lys-Val-Phe-Thr-NH₂;
3 H₂-D-β-Nal-D-Phe-Tyr-D-Trp-Lys-Thr-Phe-Thr-NH₂;
4 H₂-D-Phe-D-Phe-Tyr-D-Trp-Lys-Val-Phe-Thr-NH₂;
5 H₂-D-β-Nal-D-Cpa-Tyr-D-Trp-Lys-Val-Phe-Thr-NH₂; or
6 H₂-D-β-Nal-D-Cpa-Tyr-D-Trp-Lys-Val-Phe-β-Nal-NH₂; or
7 a pharmaceutically acceptable salt thereof.